### (19) World Intellectual Property Organization

International Bureau





## (43) International Publication Date 20 October 2005 (20.10.2005)

#### **PCT**

# (10) International Publication Number WO 2005/097767 A1

(51) International Patent Classification<sup>7</sup>: C07D 277/60

(21) International Application Number:

PCT/US2005/010224

(22) International Filing Date: 25 March 2005 (25.03.2005)

(25) Filing Language:

English

(26) Publication Language:

English

(30) Priority Data:

60/557,769 30 March 2004 (30.03.2004) US 60/591,386 27 July 2004 (27.07.2004) US

- (71) Applicant (for all designated States except US): MERCK & CO., INC. [US/US]; 126 East Lincoln Avenue, Rahway, New Jersey 07065-0907 (US).
- (72) Inventors; and
- (75) Inventors/Applicants (for US only): COBURN, Craig,
  A. [US/US]; 126 East Lincoln Avenue, Rahway, New Jersey 07065-0907 (US). ESPESETH, Amy, S. [US/US]; 126
  East Lincoln Avenue, Rahway, New Jersey 07065-0907 (US). STACHEL, Shawn, J. [US/US]; 126 East Lincoln Avenue, Rahway, New Jersey 07065-0907 (US).
  OLSEN, David, B. [US/US]; 126 East Lincoln Avenue, Rahway, New Jersey 07065-0907 (US). HAZUDA, Daria,
  J. [US/US]; 126 East Lincoln Avenue, Rahway, New Jersey 07065-0907 (US). HOLLOWAY, M. Katharine

[US/US]; 126 East Lincoln Avenue, Rahway, New Jersey 07065-0907 (US).

- (74) Common Representative: MERCK & CO., INC.; 126 East Lincoln Avenue, Rahway, New Jersey 07065-0907 (US).
- (81) Designated States (unless otherwise indicated, for every kind of national protection available): AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BW, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, EG, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NA, NI, NO, NZ, OM, PG, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, SM, SY, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW.
- (84) Designated States (unless otherwise indicated, for every kind of regional protection available): ARIPO (BW, GH, GM, KE, LS, MW, MZ, NA, SD, SL, SZ, TZ, UG, ZM, ZW), Eurasian (AM, AZ, BY, KG, KZ, MD, RU, TJ, TM), European (AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IS, IT, LT, LU, MC, NL, PL, PT, RO, SE, SI, SK, TR), OAPI (BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG).

#### Published:

with international search report

For two-letter codes and other abbreviations, refer to the "Guidance Notes on Codes and Abbreviations" appearing at the beginning of each regular issue of the PCT Gazette.

(54) Title: 2-AMINOTHIAZOLE COMPOUNDS USEFUL AS ASPARTYL PROTEASE INHIBITORS

(57) Abstract: The present invention is directed to 2-aminothiazole compounds which are aspartyl protease inhibitors, and are inhibitors of both the beta-secretase enzyme and HIV protease, and that are useful in the treatment of diseases in which the beta-secretase enzyme and HIV are involved, such as Alzheimer's disease, HIV Infection and AIDS. The invention is also directed to pharmaceutical compositions comprising these compounds and the use of these compounds and compositions in the treatment of such diseases in which the beta-secretase enzyme and HIV protease are involved.